

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
15 January 2004 (15.01.2004)

PCT

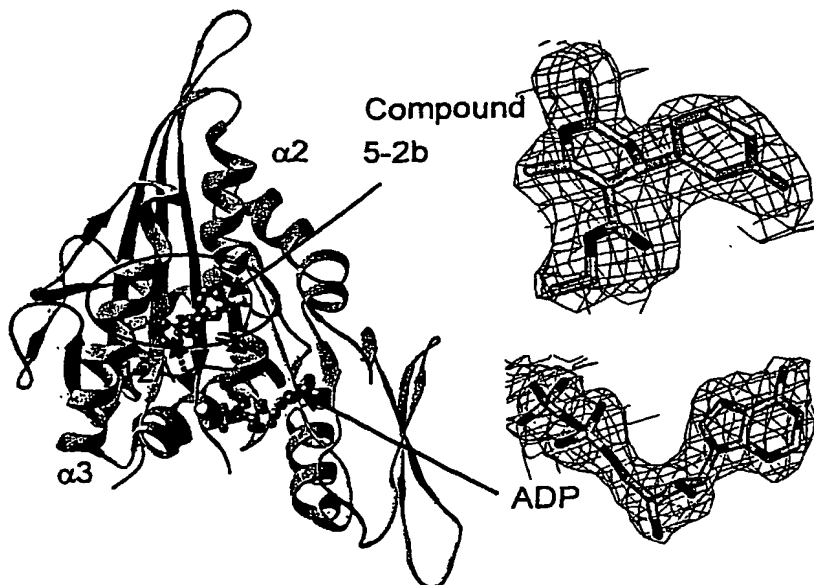
(10) International Publication Number
WO 2004/004652 A2

- (51) International Patent Classification⁷: **A61K**
- (21) International Application Number:
PCT/US2003/021145
- (22) International Filing Date: 3 July 2003 (03.07.2003)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
60/394,313 8 July 2002 (08.07.2002) US
- (71) Applicant (for all designated States except US): **MERCK & CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **BUSER-DOEPNER, Carolyn, A.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **COLEMAN, Paul, J.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **COX, Christopher, D.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **FRALEY, Mark, E.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **GARBACCIO, Robert, M.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **HARTMAN, George, D.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

- HEIMBROOK, David, C.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **KUO, Lawrence, C.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **HUBER, Hans, E.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **SARDANA, Vinod, V.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **TORRENT, Maricel** [ES/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **YAN, Youwei** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (74) Common Representative: **MERCK & CO., INC.**; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

[Continued on next page]

(54) Title: MITOTIC KINESIN BINDING SITE



(57) **Abstract:** The present invention is directed to the identification, characterization and three-dimensional structure of a novel ligand binding site of KSP. Binding of ligands to the novel binding site result in a conformational change in the three-dimensional structure of the protein and a modulation of the activity of KSP. This conformational change in turn results in the formation of a novel binding pocket in the KSP protein, which comprises the novel binding site of the instant invention.



Published:

— *without international search report and to be republished
upon receipt of that report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.